

10/698, 737

STN STRUCTURE SEARCH
4.9.04

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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:757709 CAPLUS
DOCUMENT NUMBER: 139:281336
TITLE: Process for preparing **crystalline**
Form I of cabergoline
INVENTOR(S): Sheikh, Ahmad Y.; Tomasi, Attilio
PATENT ASSIGNEE(S): Pharmacia Corporation, USA; Pharmacia Italia S.P.A.
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

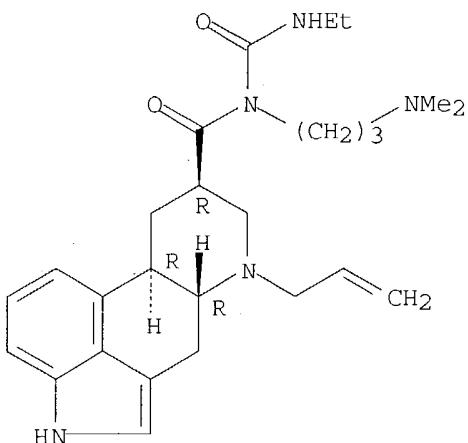
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078433	A1	20030925	WO 2003-EP2628	20030310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-364567P	P 20020315
			US 2002-410163P	P 20020912

AB A process for producing **crystalline Form I** of cabergoline
comprises the preparation of Form V using heptane as precipitation solvent,
and its
exclusive conversion into **crystalline Form I** of
cabergoline. The present crystallization process from toluene-heptane solvent
system for Form V involves 'reverse addition' of toluene-cabergoline
concentrate to
cold heptane.

IT 81409-90-7, Cabergoline
RL: PEP (Physical, engineering or chemical process); PYP (Physical
process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
USES (Uses)
(process for preparation of **crystalline Form I** of cabergoline
using toluene-heptane solvent system)

RN 81409-90-7 CAPLUS
CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-
[(ethylamino)carbonyl]-6-(2-propenyl)-, (8 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:757674 CAPLUS

DOCUMENT NUMBER: 139:265794

TITLE: Process for preparing the **crystalline form I** of cabergoline

INVENTOR(S): Sheikh, Ahmad Y.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078392	A2	20030925	WO 2003-US7138	20030310
WO 2003078392	A3	20031211		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364567P P 20020315
US 2002-410253P P 20020912

AB A process for producing **crystalline form I** of cabergoline comprises crystallization of the desired form from a toluene/heptane or toluene/hexane mixture starting from raw cabergoline, followed by recovery and removal of the solvent from the resulting toluene **solvate Form X** so as to convert it into form I. The **solvate form X** of cabergoline and its preparation are also provided.

IT 81409-90-7, Cabergoline

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)

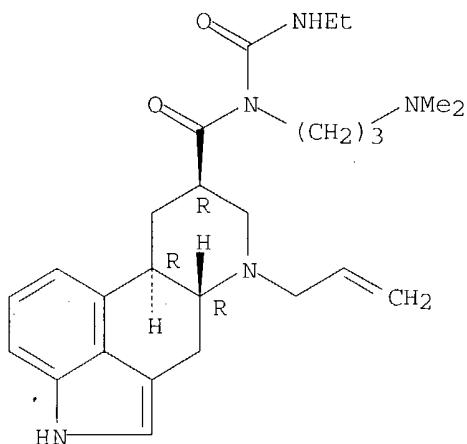
10/698,737

(process for preparing the **crystalline form I** of cabergoline)

RN 81409-90-7 CAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[ethylamino]carbonyl-6-(2-propenyl)-, (8 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:730740 CAPLUS

DOCUMENT NUMBER: 135:262285

TITLE: **Crystalline form II** of cabergoline

INVENTOR(S): Tomasi, Attilio; Magenes, Stefania; Ramella, Giuliano; Ungari, Mario; Pandolfi, Marco

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072747	A1	20011004	WO 2001-EP3098	20010319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001042482	A5	20011008	AU 2001-42482	20010319
BR 2001009508	A	20021217	BR 2001-9508	20010319
EP 1280803	A1	20030205	EP 2001-915373	20010319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528874	T2	20030930	JP 2001-570657	20010319
NO 2002004527	A	20020920	NO 2002-4527	20020920
US 2003187013	A1	20031002	US 2003-239562	20030116
US 6673806	B2	20040106		

10/698,737

PRIORITY APPLN. INFO.:

GB 2000-7307 A 20000324

WO 2001-EP3098 W 20010319

AB The **crystalline form II** of cabergoline, a pharmaceutical composition containing the form and a process for its preparation are disclosed. The process comprises crystallization from a solution of cabergoline in an organic solvent

at low temps. or submitting to a slurry procedure a mixture of cabergoline forms I and II in a solvent at a temperature below 30°. Thus, cabergoline was dissolved in di-Et ether, carbon and sodium sulfate and the mixture was filtered. The solution was concentrated to 80 mL and the solution was

cooled to -5°. The suspension was filtered under vacuum and the crystals were then dried.

IT 81409-90-7, Cabergoline

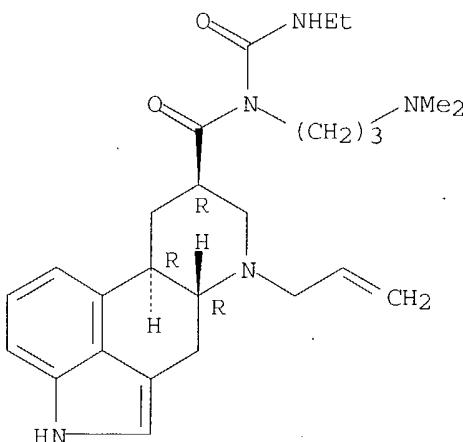
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(**crystalline form II** of cabergoline)

RN 81409-90-7 CAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:730739 CAPLUS

DOCUMENT NUMBER: 135:262284

TITLE: **Crystalline form VII** of cabergoline

INVENTOR(S): Candiani, Ilaria; Budelli, Raffaella; Pandolfi, Marco; Ungari, Mario

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

WO 2001072746	A1	20011004	WO 2001-EP2969	20010315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001052211	A5	20011008	AU 2001-52211	20010315
EP 1265894	A1	20021218	EP 2001-925471	20010315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528873	T2	20030930	JP 2001-570656	20010315
US 2003144516	A1	20030731	US 2002-221165	20020918
US 6680327	B2	20040120		

PRIORITY APPLN. INFO.: GB 2000-7309 A 20000324
WO 2001-EP2969 W 20010315

AB The **crystalline form VII** of cabergoline, a pharmaceutical composition containing the form and a process for its preparation are disclosed. The

process may comprise a slurry procedure by using the form I or mixture of forms I and VII in a solvent at a temperature above 30°. Thus, cabergoline was dissolved in 1,4-dioxane at 40°, the final solution was cooled to -5° and the solid was filtered and dried at 30-65°. The crystals of the form VII were obtained.

IT 81409-90-7, Cabergoline

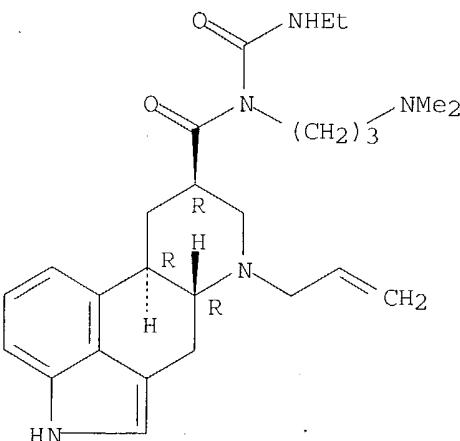
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(**crystalline form VII** of cabergoline)

RN 81409-90-7 CAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:713349 CAPLUS

DOCUMENT NUMBER: 135:242388

TITLE: Process for preparing **crystalline**

INVENTOR(S) : **form I of cabergoline**
 Tomasi, Attilio; Magenes, Stefania; Ungari, Mario;
 Ramella, Giuliano; Pallanza, Gianfranco
 PATENT ASSIGNEE(S) : Pharmacia & Upjohn S.p.A., Italy
 SOURCE: PCT Int. Appl., 18 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070740	A1	20010927	WO 2001-EP3099	20010319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001009507	A	20021210	BR 2001-9507	20010319
EP 1272489	A1	20030108	EP 2001-936098	20010319
EP 1272489	B1	20030924		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528100	T2	20030924	JP 2001-568941	20010319
AT 250601	E	20031015	AT 2001-1936098	20010319
ZA 2002006045	A	20030729	ZA 2002-6045	20020729
NO 2002004321	A	20020910	NO 2002-4321	20020910
US 2003149067	A1	20030807	US 2003-239636	20030203
PRIORITY APPLN. INFO.: GB 2000-7308 A 20000324 WO 2001-EP3099 W 20010319				

AB A process for producing **crystalline form I** of cabergoline, which process comprises crystallization of the desired form from a toluene/diethyl ether mixture comprising raw cabergoline, followed by recovery and drying of the resulting crystals. A new **solvate, form V** of cabergoline, which is a 2:1 solvate of cabergoline and toluene and is a useful as an intermediate for preparing **crystalline form I** of cabergoline, was also prepared

IT 81409-90-7P, Cabergoline

RL: PUR (Purification or recovery); PREP (Preparation)
 (process for preparing crystalline of cabergoline via formation of cabergoline

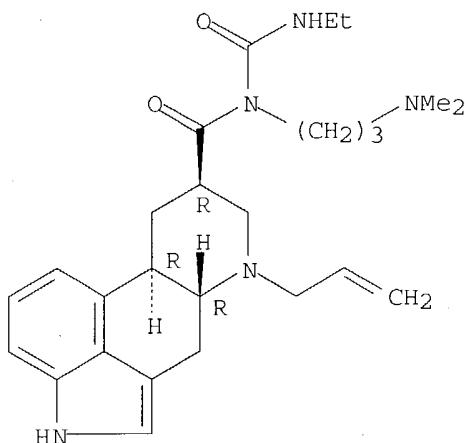
toluene solvate (2:1))

RN 81409-90-7 CAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/698, 737



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d his

(FILE 'HOME' ENTERED AT 12:17:41 ON 09 APR 2004)

FILE 'REGISTRY' ENTERED AT 12:17:49 ON 09 APR 2004
E CABERGOLINE/CN

L1 1 S E3

FILE 'CAPLUS' ENTERED AT 12:18:41 ON 09 APR 2004

L2 232 S L1
L3 159812 S CRYSTALLINE FORM? OR SOLVATE FORM? OR POLYMORPH?
L4 5 S L2 AND L3

=> d 11

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:Y

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 81409-90-7 REGISTRY
CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8β)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Indolo[4,3-fg]quinoline, ergoline-8-carboxamide deriv.

OTHER NAMES:

CN Cabaser

CN Cabergoline

CN Dostinex

CN Galastop

CN Sogilen

FS STEREOSEARCH

MF C26 H37 N5 O2

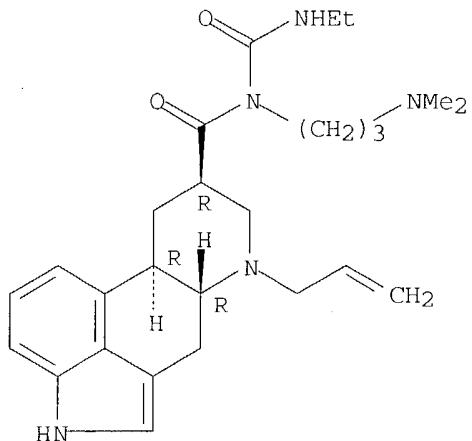
CI COM

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DIOGENES, DRUGU, EMBASE, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PROMT, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU

10/698, 737

(*File contains numerically searchable property data)
Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

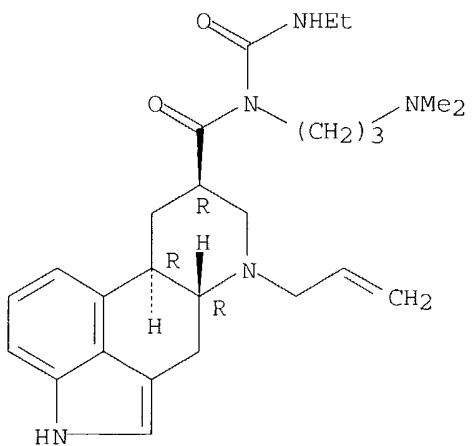
231 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
232 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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10/668,326

Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

231 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

232 REFERENCES IN FILE CAPLUS (1907 TO DATE)



Day : Friday
Date: 4/9/2004
Time: 12:24:58

Inventor Name Search Result

Your Search was:

Last Name = TOMASI

First Name = ATTILIO

Application#	Patent#	Status	Date Filed	Title	Inventor Name
60410163	Not Issued	020	09/12/2002	PROCESS FOR PREPARING CRYSTALLINE FORM I OF CABERGOLINE	TOMASI, ATTILIO
60360684	Not Issued	159	03/01/2002	CRYSTALLINE POLYMORPHIC FORM OF IRINOTECAN HYDROCHLORIDE	TOMASI, ATTILIO
10698737	Not Issued	030	10/31/2003	PROCESS FOR PREPARING CRYSTALLINE FORM I OF CABERGOLINE	TOMASI, ATTILIO
10698664	Not Issued	041	10/31/2003	CRYSTALLINE FORM II OF CABERGOLINE	TOMASI, ATTILIO
10239636	6727363	150	02/03/2003	PROCESS FOR PREPARING CRYSTALLINE FORM I OF CABERGOLINE	TOMASI, ATTILIO
10239562	6673806	150	01/16/2003	CRYSTALLINE FORM II CABERGOLINE	TOMASI, ATTILIO
07982979	Not Issued	161	11/30/1992	PROCESS FOR 3-AMINO-RIFAMYCIN	TOMASI, ATTILIO

Inventor Search Completed: No Records to Display.

Search Another:
Inventor

Last Name

First Name

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